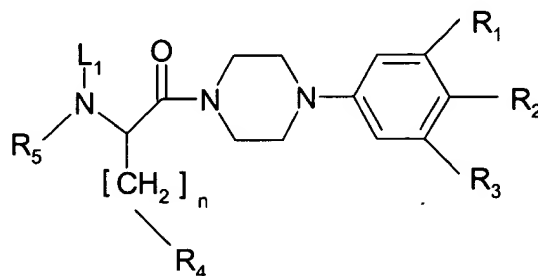


Amendments to the Claims

1. (currently amended) A compound of formula (1):



formula (1)

wherein:

L_1 is hydrogen or methyl;

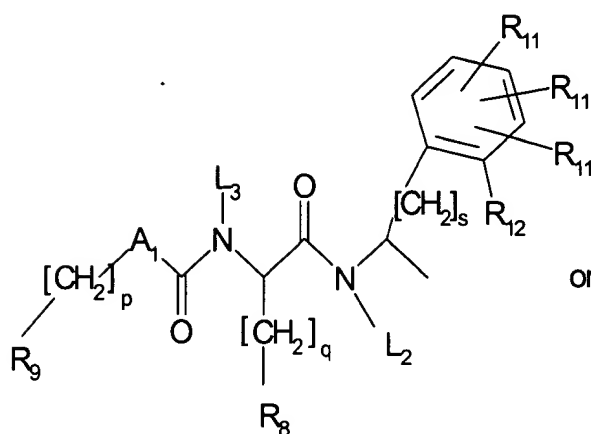
R_1 and R_2 and R_3 are each independently hydrogen, halo, nitro, cyano, carbamoyl, N-(C_{1-4} alkyl)carbamoyl, NN-(di C_{1-4} alkyl)carbamoyl or C_{1-4} alkoxycarbonyl;

R_4 is indole, N-(C_{1-4} alkyl) indole, C_{5-7} carbocyclic ring or aryl, any of which can be optionally substituted on ring carbon atoms with up to three substituents each independently selected from halo, C_{1-4} alkyl, or C_{1-4} alkoxy;

R_5 is hydrogen, C_{1-4} alkyl, R_6CH_2- or $R_6C(O)-$;

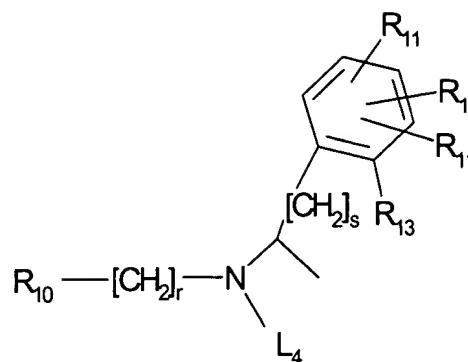
R_6 is aryl, heteroaryl, heterocyclyl, amino C_{3-6} alkyl, N-(C_{1-4} alkyl)amino C_{3-6} alkyl, NN-(di C_{1-4} alkyl)amino C_{3-6} alkyl, or R_7 ; wherein the aryl, heteroaryl or heterocyclyl rings may be optionally substituted with up to three substituents independently selected from nitro, C_{1-4} alkyl, C_{1-4} alkoxy, halo, (C_{1-4} alkyl)sulfanyl, C_{1-4} alkoxycarbonyl, N-(C_{1-4} alkyl)carbamoyl, NN-(di C_{1-4} alkyl)carbamoyl, N-(C_{1-4} alkyl)amino or NN-(di C_{1-4} alkyl)amino;

wherein R₇ is either a group of formula (2) or of formula (3):



Formula (2)

or



Formula (3)

wherein:

L₂, L₃ and L₄ are each independently hydrogen or methyl;

R₈ is amino, guanadino or imidazolo, any of which can be mono or di-N-substituted with C₁₋₄alkyl;

A₁ is oxygen or a direct bond;

R₉ is a C₅₋₈ membered mono-carbocyclic ring, a C₆₋₁₀ membered bi-carbocyclic ring, C₈₋₁₂ membered tri-carbocyclic ring, C₅₋₇alkyl or aryl, any of which can be optionally mono, bi or tri substituted by C₁₋₄ alkyl;

R₁₀ is C₁₋₆alkyl or a C₃₋₈mono-carbocyclic ring;

R₁₁ is hydrogen, halo, C₁₋₄alkyl, or C₁₋₄alkoxy;

R₁₂ is hydrogen or methyl or ethyl or R₁₂ together with L₂ forms a C₅₋₇ nitrogen-containing heterocyclic ring;

R₁₃ is hydrogen or methyl or ethyl or R₁₃ together with L₄ forms a C₅₋₇ nitrogen-containing heterocyclic ring;

n is 0, 1 or 2;

p is 0, 1 or 2;

q is an integer from 1 to 6;

r is 0, 1 or 2;

s is 0, 1 or 2;

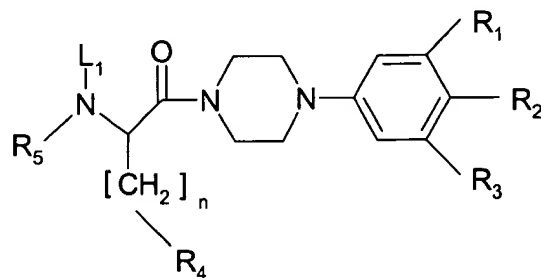
provided that when R₆ is aryl, heteroaryl, heterocyclyl, aminoC₃₋₆alkyl, N-(C₁₋₄alkyl)aminoC₃₋₆alkyl or NN-(diC₁₋₄alkyl)aminoC₃₋₆alkyl then R₅ is other than R₆CH₂-; and when R₁ to R₃ are each hydrogen, L₁ is hydrogen, n is 1, R₄ is phenyl, R₅ is R₆C(O)-, then R₆ cannot be 2-methyl-4-amino-butyl, and excluding (S)-4-chloro-N-[1-(1H-indol-3-ylmethyl)-2-oxo-2-(4-phenyl-1-piperazinyl)ethyl]-N-methyl-benzamide; or a pharmaceutically acceptable salt, prodrug or solvate thereof.

2. (previously presented) A compound according to claim 1 wherein R₅ is hydrogen.
3. (previously presented) A compound according to claim 2 wherein R₁ is hydrogen; R₂ is nitro; R₃ is hydrogen; n is 1; R₄ is indole, N-(C₁₋₄ alkyl)indole, cyclohexyl or phenyl any of which can be optionally substituted on ring carbon atoms with up to three substituents each independently selected from halo, C₁₋₄alkyl, or C₁₋₄alkoxy, L₁ is hydrogen and R₅ is hydrogen.
4. (previously presented) A compound according to claim 1 wherein R₅ is R₆C(O)—; R₆ is aryl, heteroaryl, heterocyclyl, aminoC₃₋₆alkyl, N-(C₁₋₄alkyl)aminoC₃₋₆alkyl, or NN-(diC₁₋₄alkyl)aminoC₃₋₆alkyl, wherein the aryl, heteroaryl or heterocyclyl rings can be optionally substituted on ring carbon atoms with up to three substituents each independently selected from nitro, halo, C₁₋₄alkyl, or C₁₋₄alkoxy.
5. (previously presented) A compound according to claim 4 wherein R₁ is hydrogen; R₂ is nitro; R₃ is hydrogen; n is 1; L₁ is hydrogen; and R₆ is aryl or heteroaryl wherein the aryl or heteroaryl can be optionally substituted on ring carbon atoms with up to three substituents each independently selected from nitro, halo, C₁₋₄alkyl, or C₁₋₄alkoxy.
6. (previously presented) A compound according to claim 1 wherein R₆ is R₇.

7. (currently amended) A compound according to claim 6 wherein R₇ is of Formula (2).
8. (previously presented) A compound according to claim 7 wherein R₁ is hydrogen; R₂ is nitro; R₃ is hydrogen; n is 1; L₁ is hydrogen; L₂ is hydrogen or methyl; q is an integer between 2 and 4; R₈ is amino; s is 1 and L₃ is hydrogen or methyl.
9. (previously presented) A compound according to claim 6 wherein R₇ is of Formula (3).
10. (currently amended) A compound according to claim 9 wherein R₁ is hydrogen; R₂ is nitro; R₃ is hydrogen; n is 1; s is 1 and L₁ is hydrogen.
11. (previously presented) A compound selected from the following:
 - 4,5-dimethoxy-2-nitrobenzoyl-Phe(4-Cl)-piperazine-4-nitrophenyl;
 - 4,5-dimethoxy-2-nitrobenzoyl-NMe-Trp-piperazine-4-nitrophenyl;
 - 4,5-dimethoxy-2-nitrobenzoyl-(D)(N^{trn}-Me)Trp-piperazine-4-nitrophenyl;
 - Z-(D)(NMe)Dab-(NMe)(D)Phe-(D)Trp-piperazine-4-nitrophenyl;
 - Z-NMe(D)Lys-NMe(D)Phe-(D)Phe(4-Cl)-piperazine-4-nitrophenyl;
 - cyclohexyl-CO-(D)Lys-(D)NMe-Phe-Cha-piperazine-4-nitrophenyl;
 - cyclohexyl-(D)Lys-(D)(NMe)Phe-(D)Phe(4-Cl)-piperazine-4-nitrophenyl;
 - cyclohexyl-CH₂-(D,L)NMe-Phe{CH₂NH}(D)Trp-piperazine-4-nitrophenyl;
 - and
 - cyclohexyl-(D)Lys-(D)(NMe)Phe-(D)hPhe-piperazine-4-nitrophenyl;
 or a pharmaceutically acceptable salt, prodrug or solvate thereof.
12. (currently amended) A pharmaceutical composition which comprises a compound according to claims 1 to 11 and a pharmaceutically acceptable carrier.

13. (cancelled)

14. (currently amended) A method of treating cancer, comprising administering to a warm-blooded animal an effective amount ~~The use of a compound of formula (4): in the manufacture of a medicament for the treatment of cancer in a warm-blooded animal.~~



formula (4)

wherein :

L_1 is hydrogen or methyl;

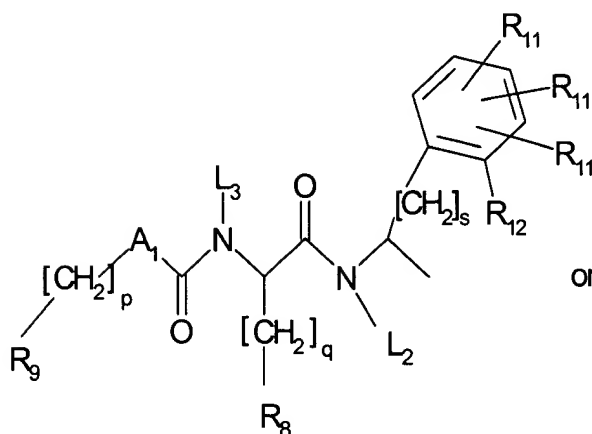
R_1 and R_2 and R_3 are each independently hydrogen, halo, nitro, cyano, carbamoyl, \underline{N} -(C_{1-4} alkyl)carbamoyl, \underline{NN} -(di C_{1-4} alkyl)carbamoyl or C_{1-4} alkoxycarbonyl;

R_4 is indole, \underline{N} -(C_{1-4} alkyl) indole, C_{5-7} carbocyclic ring or aryl, any of which can be optionally substituted on ring carbon atoms with up to three substituents each independently selected from halo, C_{1-4} alkyl, or C_{1-4} alkoxy;

R_5 is hydrogen, C_{1-4} alkyl, R_6CH_2- or $R_6C(O)-$;

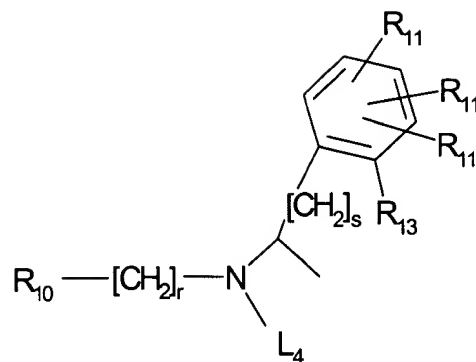
R_6 is aryl, heteroaryl, heterocyclyl, amino C_{3-6} alkyl, \underline{N} -(C_{1-4} alkyl)amino C_{3-6} alkyl, \underline{NN} -(di C_{1-4} alkyl)amino C_{3-6} alkyl, or R_7 ; wherein the aryl, heteroaryl or heterocyclyl rings may be optionally substituted with up to three substituents independently selected from nitro, C_{1-4} alkyl, C_{1-4} alkoxy, halo, (C_{1-4} alkyl)sulfanyl, \underline{C}_{1-4} alkoxycarbonyl, \underline{N} -(C_{1-4} alkyl)carbamoyl, \underline{NN} -(di C_{1-4} alkyl)carbamoyl, \underline{N} -(C_{1-4} alkyl)amino or \underline{NN} -(di C_{1-4} alkyl)amino;

wherein R₇ is either a group of formula (5) or of formula (6):



Formula (5)

or



Formula (6)

wherein:

L₂, L₃ and L₄ are each independently hydrogen or methyl;

R₈ is amino, guanadino or imidazolo, any of which can be mono or di-N-substituted with C₁₋₄alkyl;

A₁ is oxygen or a direct bond;

R₉ is a C₅₋₈ membered mono-carbocyclic ring, a C₆₋₁₀ membered bi-carbocyclic ring, C₈₋₁₂ membered tri-carbocyclic ring, C₅₋₇alkyl or aryl, any of which can be optionally mono, bi or tri substituted by C₁₋₄ alkyl;

R₁₀ is C₁₋₆alkyl or a C₃₋₈mono-carbocyclic ring;

R₁₁ is hydrogen, halo, C₁₋₄alkyl, or C₁₋₄alkoxy;

R₁₂ is hydrogen or methyl or ethyl or R₁₂ together with L₂ forms a C₅₋₇ nitrogen-containing heterocyclic ring;

R₁₃ is hydrogen or methyl or ethyl or R₁₃ together with L₄ forms a C₅₋₇ nitrogen-containing heterocyclic ring;

n is 0, 1 or 2;

p is 0, 1 or 2;

q is an integer from 1 to 6;

r is 0, 1 or 2;

s is 0, 1 or 2;

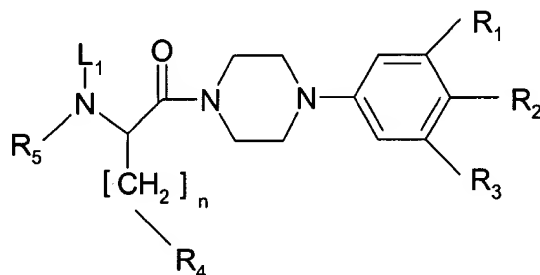
provided that when R_6 is aryl, heteroaryl, heterocyclyl, aminoC₃₋₆alkyl, \underline{N} -(C₁₋₄alkyl)aminoC₃₋₆alkyl or \underline{NN} -(diC₁₋₄alkyl)aminoC₃₋₆alkyl then R_5 is other than R_6CH_2 ; or a pharmaceutically acceptable salt, prodrug or solvate thereof.

15. (cancelled)

16. (cancelled)

17. (cancelled)

18. (new) A compound of formula (1a):



formula (1a)

wherein :

L_1 is hydrogen or methyl;

R_1 and R_2 and R_3 are each independently hydrogen, halo, nitro, cyano, carbamoyl, \underline{N} -(C₁₋₄alkyl)carbamoyl, \underline{NN} -(diC₁₋₄alkyl)carbamoyl or C₁₋₄alkoxycarbonyl;

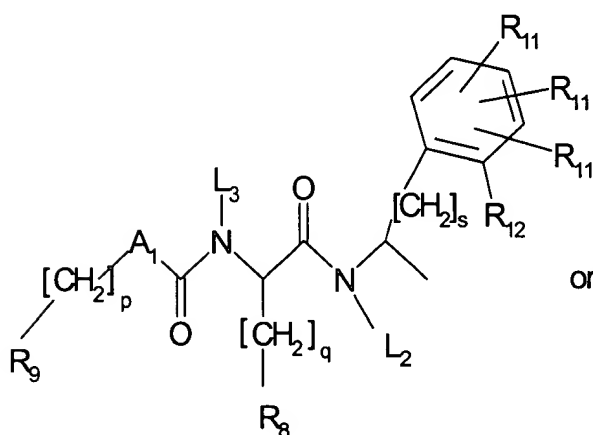
R_4 is indole, \underline{N} -(C₁₋₄ alkyl) indole, C₅₋₇carbocyclic ring or aryl, any of which can be optionally substituted on ring carbon atoms with up to three substituents each independently selected from halo, C₁₋₄alkyl, or C₁₋₄alkoxy;

R_5 is hydrogen, C₁₋₄alkyl, R_6CH_2 - or $R_6C(O)$ -;

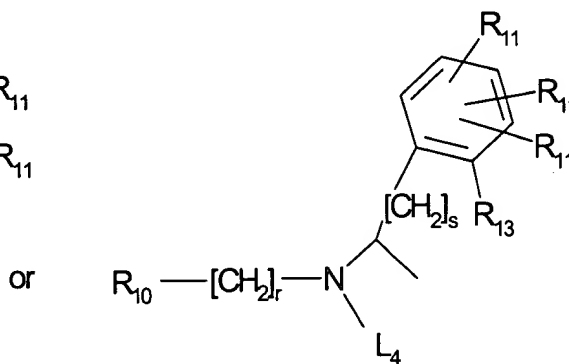
R_6 is aryl, heteroaryl, heterocyclyl, aminoC₃₋₆alkyl, \underline{N} -(C₁₋₄alkyl)aminoC₃₋₆alkyl, \underline{NN} -(diC₁₋₄alkyl)aminoC₃₋₆alkyl, or R_7 ; wherein the aryl, heteroaryl or heterocyclyl rings may be optionally substituted with up to three

substituents independently selected from nitro, C₁₋₄alkyl, C₁₋₄alkoxy, (C₁₋₄alkyl)sulfanyl, N-(C₁₋₄ alkyl)carbamoyl, NN-(diC₁₋₄ alkyl)carbamoyl, N-(C₁₋₄ alkyl)amino or NN-(diC₁₋₄ alkyl)amino;

wherein R₇ is either a group of formula (2) or of formula (3):



Formula (2)



Formula (3)

wherein:

L₂, L₃ and L₄ are each independently hydrogen or methyl;

R₈ is amino, guanadino or imidazolo, any of which can be mono or di-N-substituted with C₁₋₄alkyl;

A₁ is oxygen or a direct bond;

R₉ is a C₅₋₈ membered mono-carbocyclic ring, a C₆₋₁₀ membered bi-carbocyclic ring, C₈₋₁₂ membered tri-carbocyclic ring, C₅₋₇alkyl or aryl, any of which can be optionally mono, bi or tri substituted by C₁₋₄ alkyl;

R₁₀ is C₁₋₆alkyl or a C₃₋₈mono-carbocyclic ring;

R₁₁ is hydrogen, halo, C₁₋₄alkyl, or C₁₋₄alkoxy;

R₁₂ is hydrogen or methyl or ethyl or R₁₂ together with L₂ forms a C₅₋₇ nitrogen-containing heterocyclic ring;

R₁₃ is hydrogen or methyl or ethyl or R₁₃ together with L₄ forms a C₅₋₇ nitrogen-containing heterocyclic ring;

n is 0, 1 or 2;

p is 0, 1 or 2;

q is an integer from 1 to 6;

r is 0, 1 or 2;

s is 0, 1 or 2;

provided that when R₆ is aryl, heteroaryl, heterocyclyl, aminoC₃₋₆alkyl, N-(C₁₋₄alkyl)aminoC₃₋₆alkyl or NN-(diC₁₋₄alkyl)aminoC₃₋₆alkyl then R₅ is other than R₆CH₂-; and when R₁ to R₃ are each hydrogen, L₁ is hydrogen, n is 1, R₄ is phenyl, R₅ is R₆C(O)-, then R₆ cannot be 2-methyl-4-amino-butyl, or a pharmaceutically acceptable salt, prodrug or solvate thereof.

19. (new) A pharmaceutical composition comprising a compound of Claim 1, or a compound of Claim 18, or a pharmaceutically acceptable salt, prodrug or solvate thereof, in admixture with a pharmaceutically acceptable diluent or carrier.